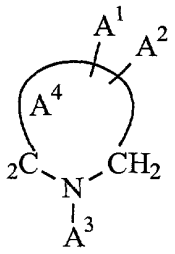
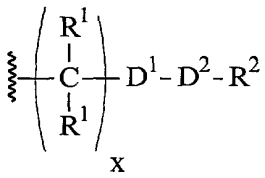
WHAT IS CLAIMED IS:

1. A compound having the structure:



or an optical isomer, diastereomer, enantiomer, or pharmaceutically-acceptable salt, or amide, ester, or imide susceptible to being cleaved *in vivo* by a mammalian subject to yield the compound, wherein:

(a) A^1 and A^2 are each, independently, selected from the group consisting of a hydrogen atom and a group having the structure:



with the proviso that at A^1 and A^2 are not both hydrogen atoms, and wherein:

(i) each R^1 is independently selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

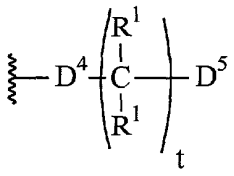
(ii) x is from 0 to about 10;

(iii) R^2 is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocylclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

(iv) D^1 and D^2 are each independently selected from the group consisting of –C(O)- and $–NR^3-$; with the proviso that wherein when D^1 is $–NR^3-$ then D^2 is -C(O)-, and wherein when D^2 is $–NR^3-$ then D^1 is –C(O)-; and

(v) R^3 is selected from the group consisting of a hydrogen atom and R^2; and

(b) A^3 has the structure:



wherein:

(i) each R^1 is independently selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

(ii) t is from 0 to about 6;

(iii) D^4 is selected from the group consisting of -C(O)- and $–CH(R^1)-$,

(iv) D^5 is selected from the group consisting of $–NHR^6$ and $-OR^6$, and

(v) R^6 is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group, with the proviso that wherein when:

(a) A^4 is a heterocyclic group having 6 member atoms; and

(b) A^1 or A^2 is hydrogen; and

(c) each R^1 is selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a carbocyclic group, a substituted carbocyclic group, an aromatic group, and a substituted aromatic group; and

(d) each R^2 is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a carbocyclic group, a substituted carbocyclic group, an aromatic group, and a substituted aromatic group;

then R^6 is not a quinolyl group; and

(c) A^4 is a heterocyclic group having from 4 to 9 member atoms.

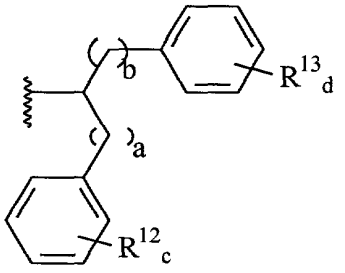
2. The compound according to Claim 1 wherein A^4 is a heterocyclic group having 5 or 6 member atoms.

3. The compound according to Claim 2 wherein x is 0 to about 1.

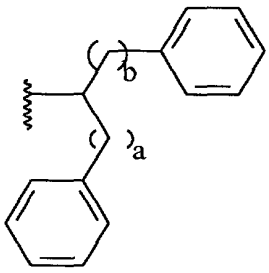
4. The compound according to Claim 3 wherein at least one R^1 is selected from the group consisting of a hydrogen atom and a hydroxyl group.

5. The compound according to Claim 4 wherein at least one R^2 is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group.

6. The compound according to Claim 5 wherein each R^2 is selected from the group consisting of:



and



wherein:

(a) a is at least about 2;

(b) b is at least about 2;

(c) c is about 1 to about 3;

(d) d is about 1 to about 3; and

each R^{12} and R^{13} are each independently selected from the group consisting of hydrocarbon groups and substituted hydrocarbon groups.

7. The compound according to Claim 5 wherein D^4 is –C(O)- and t is 0.

8. The compound according to Claim 5 wherein D^4 is –C(O)- and D^5 is $-O_rR^6$.

9. The compound according to Claim 5 wherein D^4 is $-CH(R^1)-$ and D^5 is $-O_rR^6$.

10. The compound according to Claim 5 wherein D^4 is $-CH(R^1)-$ and D^5 is $-NHR^6$.

11. A composition comprising:

(a) the compound according to Claim 1; and

(b) a pharmaceutically acceptable carrier.

12. The composition according to Claim 11 wherein the compound inhibits transport protein activity.

13. A composition comprising:

(a) the compound according to Claim 5; and

(b) a pharmaceutically acceptable carrier.

14. The composition according to Claim 13 wherein the compound inhibits transport protein activity.

15. A method selected from the group consisting of treating multidrug resistance, inhibiting transport protein activity; and combinations thereof, comprising administering to a mammal in need of such treatment or inhibition the composition according to Claim 11.

16. A method selected from the group consisting of treating multidrug resistance, inhibiting transport protein activity; and combinations thereof, comprising administering to a mammal in need of such treatment or inhibition the composition according to Claim 13.